

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

RECEIVED

06 SEP 2004

WIPO


PCT

Applicant's or agent's file reference K2500-PCT		FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)
International application No. PCT/BE 03/00117	International filing date (day/month/year) 03.07.2003	Priority date (day/month/year) 03.07.2002
International Patent Classification (IPC) or both national classification and IPC C07D471/04		
Applicant K.U. LEUVEN RESEACH & DEVELOPMENT et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 8 sheets, including this cover sheet.
 - ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of 32 sheets.

3. This report contains indications relating to the following items:
 - I ☒ Basis of the opinion
 - II ☐ Priority
 - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - IV ☐ Lack of unity of invention
 - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
 - VI ☐ Certain documents cited
 - VII ☐ Certain defects in the international application
 - VIII ☐ Certain observations on the international application

Date of submission of the demand 19.01.2004	Date of completion of this report 03.09.2004
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Boletti-Cremers, K Telephone No. +49 89 2399-8541



INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/BE 03/00117

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1, 2, 4-12, 15, 16, 19-126 as originally filed
3A, 3B, 13A, 13B, 14A, 14B, filed with telefax on 09.07.2004
17A, 17B, 18A, 18B

Claims, Numbers

1-22 filed with telefax on 09.07.2004

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/BE 03/00117**

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 20

because:

☒ the said international application, or the said claims Nos. 20 relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

☒ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. 7-14 are so unclear that no meaningful opinion could be formed (*specify*):

see separate sheet

☒ the claims, or said claims Nos. 7-14 are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for the said claims Nos. 7-14

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-6
	No: Claims	7
Inventive step (IS)	Yes: Claims	1-7 (with proviso)
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-19, 21,22
	No: Claims	

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/BE 03/00117

POINT I.

1. Present claim 7 does not satisfy the requirements of Art 34 (2) (b) , last sentence PCT .

a. Indeed , several disclaimers which were present at the origin and which encompassed various compounds or teachings of compounds , namely the compounds summarised at **original** page 134, lines 31-34 , the teachings of compounds recited at **original** page 135, lines 1-7, and page **original** page 135 , lines 22-27 , are no longer present in present amended claim 7 which now implicitly extends its scope so as to encompass them. Those not recited compounds should possibly enter that claim (they are still in the description on page 11 and 12....) , bearing the following point III in mind.

b. Present objection applies "mutatis mutandis", if necessary, to the descriptive amendments submitted on 09.07.04 by the Applicant.

2. New claim 11 cannot be accepted on the basis of the support pointed out by the Applicant on 09.07.04. Said support (page 14,lines 15-19) not being clear and unambiguous, claim 11 is not allowable.

POINT III.

1 The use of the multiple disclaimers in present claim 7 renders said claim obscure in scope in that the desired extension of protection is not clearly delimited towards the content of the prior art and , since the ISA could not perform a search covering all the claimed alternatives of the possible compounds (A) on file, the IPEA invites the Applicant to restrict claim 7 so as to enable a clear and unambiguous acknowledgment of the novelty towards the prior art as well as a possible search which would encompass all the claimed possibilities of that claim, which has not yet extensively been searched at present .

Indeed , present communication does not deal with the examination of the full extension of the protection set out in the compound claims on file, because the ISA could not perform a search covering all the claimed alternatives of the possible compounds A on file.

Consequently to the above , no meaning opinion could be given for the 2 reasons that claim 7 is obscure and not sufficiently supported by the description, and that it has not yet been extensively searched.

A further search will possibly be performed in the European proceedings , provided that

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/BE 03/00117

the compound claims could be rendered clear in scope , **first**.

2 For the assessment of the presently worded claim 20 on the question whether it is industrially applicable, no unified criteria exist in the PCT. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognise as industrially applicable claims to the use of a compound in medical treatment, but will allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a new medical treatment.

POINT V.

The following documents , quoted in the I.S.R., have been considered as relevant for the examination of the present application . Their numbering will be adhered to for the rest of the procedure.

- (1) GB-A-2 158 440.
- (2) Khimiko-Farmatsevtichsskii Zhurnal, vol. 23, no. 1, 1989, pages 56-9 (**copy provided**).
- (3) HU-A-78 019 *.
- (4) WO-A-99 27929.
- (5) WO-A-96 11192, cited in the application.
- (6) WO-A-96 12703, cited in the application .
- (7) US-A-5 302 601, cited in the application.
- (8) EP-A-344 414.
- (9) WO-A-95 16687.
- (10) Journal of the Combinatorial Chemistry, vol. 4, no. 5, 2002, pages 475-483.
(point VI)

* The IPEA is grateful to the Applicant for the provision of a copy of (3).

1. Novelty.

- 1.1 The content of (1) does not affect the novelty of the claims on file in that the examples of (1) do not fall within the scope of the compound and use claims on file.
- 1.2 Although (2) and (3) are enabling disclosures for the purpose of the examination of the novelty of the claimed matter on file, the IPEA is not familiar with the languages

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/BE 03/00117

used in those documents and invites the Applicant to possibly provide a copy of the translations of those documents into one of the official languages of the regional European proceedings to come.

- 1.3 In view of the fact that example 4 of (4) has been disclaimed from the scope of claim 7 by means of the disclaimer at page 10, line 8 of the claims, the novelty vis à vis of the content of (4) can be acknowledged. However, no descriptive amendment concerning that compound has been submitted. Therefore there is a discrepancy between claim 7 and the description now, which should be dealt with in the European regional proceedings.
- 1.4 In view of the fact that all the compounds of (5) have now been withdrawn from claim 7 on file (see page 10, lines 8-14), that claim can be regarded as novel with respect to the content of (5).
- 1.5 In view of the fact that compounds of examples 3, 12 (compound 5 only) and 18 of (6) have not apparently been properly disclaimed from claim 7, previous objection which concerned the disclosure of (6), still require the Applicant's attention. The compounds named previously should be avoided from at least claim 7 on file in the regional proceedings to come.
- 1.6 In response to previous absence of novelty with respect to the contents of (7) and (8), the Applicant has now excluded the entire teachings set out in (7) and (8) to define those compounds, as now on page 8, line 34 up to page 9 line 19 of present claim 7, on the basis of the support mentioned on page 17, lines 24-27 of the original description.
Even if this support can be accepted, the Applicant is invited to **restrict** said claim in the regional proceedings to come, in a way that a clear and unambiguous acknowledgement of the novelty vis à vis (7) and (8) could be enabled (see previous point III in this respect).
- 1.7 In view of the fact that the indolyle compounds of (9) do not fall within the scope of present claims 7 and following, the claimed matter on file can be regarded as novel with respect to the content of (9).

Indeed, even if attached through a linker to a possible imidazo (4,5-c) pyridine derivative, the indolyl substitution is not part of the possible definitions of the R³ defined radicals associated to the claimed compounds on file.

Presumably, the reasons why Applicant deleted the compound named at original claim 7, page 135, lines 22-23 as a disclaimer from present claim 7 is related to present acknowledgement, and it requires further clarification.

If there is a correlation between the present absence of that compound in present claim 7 and the fact that the indolyle definitions are not encompassed under the possible definitions of R³ radicals, previous point I a. is partially met because the original disclaimer which concerned that compound was not necessary and lead to an unnecessary additional lack of clarity of original claim 7.

- 1.8 Whether the content of (10) (refer especially to compounds 15 and 16 mentioned in scheme 4 on page 479; see also page 480, Table 4 in this respect) is relevant for the examination of the novelty of the claimed compounds on file will only be investigated in the European regional phase and will essentially depend on the examination of validity of the priority rights claimed by present invention, which at present is not possible.

2. Inventiveness.

In view of the fact that the claimed compounds possess one more unsaturation than the compounds disclosed in (1), they cannot be interpreted as the result of a non inventive selection of the antiviral compounds disclosed in (1) and in this respect, the IPEA acknowledges the inventiveness of the claimed matter on the basis of the Applicant's argumentation of 09.07.2004, provided that a clear acknowledgment of the novelty could be enabled in the regional proceedings to come.

It should also be added that present opinion is based on a partial search report and could be amended after the issue of an additional search report in the regional proceedings to come.

3. Formal Points.

- 3.1 The descriptive support pointed out by the Applicant in response to previous point 3.1 of the first preliminary opinion cannot be accepted as an answer to the invitation to give the reasons of the various disclaimers of claim 7.

Said objection is maintained and the Applicant is invited to give the **technical** reasons (thus the reasons starting from the prior art in general-some disclaimers are understood, some of them cannot be related to any of the prior art pieces provided

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/BE 03/00117

by the ISR-) of the existence of the provisos which are encompassed in claim 7 .

If those provisos are related to prior art which was not quoted in the ISR, he is also invited to name it, quote it in the description , and possibly already discuss their/its content(s) when the application will enter the regional proceedings to come.

- 3.2 Moreover the use of the multiple disclaimers in present claim 7 renders said claim is unclear in scope in that the desired extension of protection is not clearly delimited towards the content of the prior art , even if that prior art ((2)-(9)) does not affect the inventiveness of the claims on file .

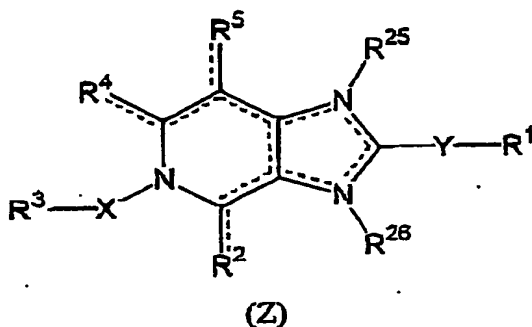
Since present application deals mainly with the further use of known compounds which were already known for their possible therapeutical uses , as illustrated in the documents (2)-(9), possibly claim 7 should be deleted and replaced by an inventive (unamended) claim 1 where all the definitions could remain unchanged.

Under those circumstances no additional search should be provided because claim 1 was apparently searched by the ISA.

Indeed , present IPER does not deal with the examination of the full extension of the protection set out in the compound claims on file because the ISA could not perform a search covering all the claimed alternatives of the possible compounds (I) on file.

amended claims PCT/BE03/00117 : clean copy**CLAIMS**

1. Use of a imidazo[4,5-c]pyridine derivative of the formula (Z), or pharmaceutically acceptable salts thereof for the preparation of a medicament for the treatment or prevention of viral infections,



wherein:

- the dotted lines represent an optional double bond, provided that no two double bonds are adjacent to one another, and that the dotted lines represent at least 3, optionally 4 double bonds;
- R^1 is selected from hydrogen; aryl unsubstituted or substituted with one or more R^6 , heterocyclic ring unsubstituted or substituted with one or more R^6 , C_{3-10} cycloalkyl unsubstituted or substituted with one or more R^6 and C_{4-10} cycloalkenyl unsubstituted or substituted with one or more R^6 ;
- Y is selected from the group consisting of a single bond, O; $S(O)_m$; NR^{11} ; and a divalent, saturated or unsaturated, substituted or unsubstituted C_{1-10} hydrocarbon group optionally including one or more heteroatoms in the main chain, said heteroatoms being selected from the groups consisting of O, S, and N; such as C_{1-6} alkylene, C_{2-6} alkenylene, C_{2-6} alkynylene, $-O(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-O-(CH_2)_{1-4}-$, $-S-(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-S-(CH_2)_{1-4}-$, $NR^{11}-(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-NR^{11}-(CH_2)_{1-4}-$ and C_{3-10} cycloalkylidene;
- each R^2 and R^4 is independently selected from the group consisting of hydrogen C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; halogen; OH; CN; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^9$; $C(=S)R^9$; SH; aryl; aryloxy; arylthio; arylalkyl; C_{1-18} hydroxyalkyl; C_{3-10} cycloalkyl; C_{3-10} cycloalkyloxy; C_{3-10} cycloalkylthio; C_{3-10} cycloalkenyl; C_{3-10} cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or

thioheterocyclic ring; or, when one of R^{25} or R^{26} is different from hydrogen, either R^2 or R^4 is selected from $(=O)$, $(=S)$, and $(=NR^{27})$;

- X is selected from the group consisting of a divalent, saturated or unsaturated, substituted or unsubstituted C_1 - C_{10} hydrocarbon group optionally including one or more heteroatoms in the main chain (provided that the heteroatom is not linked to N of the nucleus), said heteroatoms being selected from the group consisting of O, S, and N; such as C_{1-6} alkylene, (for example $-CH_2-$, $-CH(CH_3)-$, $-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-$, $-(CH_2)_{2-4}-O-(CH_2)_{2-4}-$, $-(CH_2)_{2-4}-S-(CH_2)_{2-4}-$, $-(CH_2)_{2-4}-NR^{10}-(CH_2)_{2-4}-$, C_{3-10} cycloalkylidene, C_{2-6} alkenylene (such as $-CH=CH-CH_2-$), C_{2-6} alkynylene;
- m is any integer from 0 to 2;
- R^3 is selected from the group consisting of aryl; aryloxy; arylthio; aryl- $NR^{10}-$; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;; and each of said aryl, aryloxy, arylthio, aryl- $NR^{10}-$, 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring is optionally substituted with one or more R^{17} ; C_{3-10} cycloalkyl, oxycycloalkyl or thiocycloalkyl; C_{4-10} cycloalkenyl with the proviso that the double bond cannot be adjacent to a nitrogen; H with the proviso that if X is an alkylene, an alkenylene or an alkynylene, then X comprises at least 5 carbon atoms;
- R^5 is independently selected from the group consisting of hydrogen; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; halogen; OH; CN; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^9$; $C(=S)R^9$; SH; aryl; aryloxy; arylthio; arylalkyl; C_{1-18} hydroxyalkyl; C_{3-10} cycloalkyl; C_{3-10} cycloalkyloxy; C_{3-10} cycloalkylthio C_{3-10} cycloalkenyl; C_{3-10} cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;
- each R^6 and R^{17} is independently selected from the group consisting of hydrogen; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; C_{3-10} cycloalkyl, C_{3-10} cycloalkenyl or C_{3-10} cycloalkynyl; halogen; OH; CN; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^{18}$; $C(=S)R^{18}$; SH; aryl; aryloxy; arylthio; arylalkyl; arylalkyloxy (optionally a oxybenzyl); arylalkylthio (optionally a benzylthio); 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; C_{1-18} hydroxyalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl, arylalkyloxy (optionally a oxybenzyl), arylalkylthio (optionally a benzylthio), 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring, C_{1-18} hydroxyalkyl is optionally substituted with 1 or more R^{19} ;
- each R^7 and R^8 is independently selected from the group consisting of H; C_{1-18} alkyl; C_{1-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; 5-6 membered heterocyclic ring;

$C(=O)R^{12}$; $C(=S)R^{12}$; an amino acid residue linked through a carboxyl group thereof; alternatively, R^7 and R^8 , together with the nitrogen to which they are attached, combine to form a 5-6 membered heterocyclic ring;

- each R^9 and R^{18} is independently selected from the group consisting of H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; C_{1-18} alkoxy; $NR^{15}R^{16}$; aryl an amino acid residue linked through an amino group thereof;
- each R^{10} and R^{11} is independently selected from the group the group consisting of H; C_{1-18} alkyl; C_{1-18} alkenyl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; aryl; $C(=O)R^{12}$; 5-6 membered heterocyclin ring; an amino acid residue linked through a carboxyl group thereof;
- 10 - R^{12} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; an amino acid residue linked through an amino group thereof;
- each R^{13} and R^{14} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$; $C(=S)R^{12}$; an amino acid residue linked through a carboxyl group thereof;
- 15 - each R^{15} and R^{16} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; an amino acid residue linked through a carboxyl group thereof.
- R^{19} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy, preferably C_{1-6} alkoxy; C_{1-18} alkylthio; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; C_{4-10} cycloalkynyl; halogen; OH; CN; NO_2 ; $NR^{20}R^{21}$; OCF_3 ; haloalkyl; $C(=O)R^{22}$; $C(=S)R^{22}$; SH; $C(=O)N(C_{1-6} \text{ alkyl})$; $N(H)S(O)(O)(C_{1-6} \text{ alkyl})$; aryl; aryloxy; arylthio; arylalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl substituted with 1 or more halogens, particularly a phenyl substituted with 1-2 halogens; hydroxyalkyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring each unsubstituted or substituted with 1 or more halogens;
- 25 - each R^{20} and R^{21} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$, $C(=S)R^{12}$;
- 30 - R^{22} is independently selected from H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{1-18} alkoxy; $NR^{23}R^{24}$; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl;
- each R^{23} and R^{24} is independently selected from the group the group consisting of H; C_{1-18} alkyl, preferably C_{2-3} alkyl, wherein C_{2-3} alkyl taken together with N of R^{22} can form a saturated heterocycle, which heterocycle is optionally substituted with OH or aryl or an

amino acid residue;

each R^{25} or R^{26} , are absent or selected from the group consisting of of H, C_{1-18} alkyl, preferably C_{1-4} alkyl; C_{3-10} cycloalkyl, such as C_{5-10} bicycloalkyl; C_{3-10} cycloalkenyl; (C_{3-8} cycloalkyl)- C_{1-3} alkyl; aryl, such as phenyl; 5 or 6 membered heterocyclic ring, such as pyridyl; alkylaryl, such as benzyl; and each of said C_{1-18} alkyl, preferably C_{1-4} alkyl, C_{3-10} cycloalkyl, C_{3-10} cycloalkenyl, (C_{3-8} cycloalkyl)- C_{1-3} alkyl, C_{5-10} bicycloalkyl, adamantyl, phenyl, pyridyl and benzyl is optionally substituted with 1-4 of each of C_{1-6} alkyl, C_{1-6} alkoxy, halo, CH_2OH , oxybenzyl, and OH; and heterocyclic ring having 3 to 7 carbon atoms, preferably a saturated heterocyclic ring wherein the heteroatoms are S, S(O), or S(O)₂ separated from the imidazopyridyl ring nitrogen atom by at least 2 heterocyclic ring carbon atoms. Provided that either R^{25} or R^{26} is hydrogen. Typically R^{25} or R^{26} is cyclopentyl or cyclohexyl; provided that if the compound is substituted at R^{25} or R^{26} , either R^2 or R^4 is selected from (=O), (=S), and (=NR²⁷); and R^{27} is selected from the group consisting of H, C_{1-18} alkyl, C_{3-10} cycloalkyl, (C_{3-10} cycloalkyl)- C_{1-6} alkyl; aryl; arylalkyl, such as benzyl.

2. The use according to claim 1, wherein said viral infection is an infection of a virus belonging to the family of Flaviviridae.

3. The use according to claim 1, wherein said viral infection is an infection of a hepatitis-C virus.

4. The use according to claim 1, wherein said viral infection is an infection of a virus belonging to the family of the Picornaviridae.

5. The use according to claim 1, wherein said viral infection is an infection of a Cocksackie virus.

6. The use of claim 1, wherein said compound is selected from the group consisting of:

5-[(4-Bromophenyl)methyl]-2-(2-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine

5-[(4-Bromophenyl)methyl]-2-(2-pyridinyl)-5*H*-imidazo[4,5-*c*]pyridine

5-[(4-Bromophenyl)methyl]-2-(1-naphthalenyl)-5*H*-imidazo[4,5-*c*]pyridine

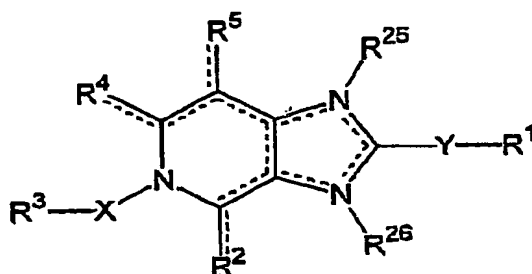
5-[(4-Bromophenyl)methyl]-2-[(phenylthio)methyl]-5*H*-imidazo[4,5-*c*]pyridine

5-[(4-Bromophenyl)methyl]-2-[3-(trifluoromethyl)phenyl]-5*H*-imidazo[4,5-*c*]pyridine

5-([1,1'-Biphenyl]-4-ylmethyl)-2-(2-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Chlorophenyl)methyl]-2-(2-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 2-(2-Fluorophenyl)-5-[(4-iodophenyl)methyl]-5*H*-imidazo[4,5-*c*]pyridine
 5-[[4-(1,1-Dimethylethyl)phenyl]methyl]-2-(2-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine

5

7. An imidazo[4,5-*c*]pyridine compound according to formula A:



(A)

10

- or an enantiomer or a solvate, or a pharmaceutically acceptable salt thereof, wherein:
 the dotted lines represent an optional double bond, provided that no two double bonds
 are adjacent to one another, and that the dotted lines represent at least 3, optionally 4
 double bonds;

15

- R^1 is selected from hydrogen; aryl unsubstituted or substituted with one or more R^6 ,
 heterocyclic ring unsubstituted or substituted with one or more R^6 , C_{3-10} cycloalkyl
 unsubstituted or substituted with one or more R^6 and C_{4-10} cycloalkenyl unsubstituted or
 substituted with one or more R^6

20

- Y is selected from the group consisting of a single bond, O; $S(O)_m$; NR^{11} ; and a
 divalent, saturated or unsaturated, substituted or unsubstituted C_{1-10} hydrocarbon
 group optionally including one or more heteroatoms in the main chain, said heteroatoms
 being selected from the groups consisting of O, S, and N; such as C_{1-6} alkylene, C_{2-6}
 alkenylene, C_{2-6} alkynylene, $-O(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-O-(CH_2)_{1-4}-$, $-S-(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-$
 $S-(CH_2)_{1-4}-$, $-NR^{11}-(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-NR^{11}-(CH_2)_{1-4}-$ and C_{3-10} cycloalkylidene;

25

- each R^2 and R^4 is independently selected from the group consisting of hydrogen C_{1-18}
 alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; halogen; OH; CN; NO_2 ;
 NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^9$; $C(=S)R^9$; SH; aryl; aryloxy; arylthio; arylalkyl; C_{1-18}
 hydroxyalkyl; C_{3-10} cycloalkyl; C_{3-10} cycloalkyloxy; C_{3-10} cycloalkylthio; C_{3-10}
 cycloalkenyl; C_{3-10} cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or

6

thioheterocyclic ring; or, when one of R^{25} or R^{26} is different from hydrogen, either R^2 or R^4 is selected from ($=O$), ($=S$), and ($=NR^{27}$);

- X is selected from the group consisting of a divalent, saturated or unsaturated, substituted or unsubstituted C_{1-10} hydrocarbon group optionally including one or more heteroatoms in the main chain (provided that the heteroatom is not linked to N of the nucleus), said heteroatoms being selected from the group consisting of O , S , and N ; such as C_{1-6} alkylene, (for example $-CH_2-$, $-CH(CH_3)-$, $-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-$), $-(CH_2)_{2-4}-O-(CH_2)_{2-4}-$, $-(CH_2)_{2-4}-S-(CH_2)_{2-4}-$, $-(CH_2)_{2-4}-NR^{10}-$, $(CH_2)_{2-4}-$, C_{3-10} cycloalkylidene, C_{2-6} alkenylene (such as $-CH=CH-CH_2-$), C_{2-6} alkynylene;

m is any integer from 0 to 2;

- R^3 is selected from the group consisting of aryl; aryloxy; arylthio; aryl- $NR^{10}-$; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; and each of said aryl, aryloxy, arylthio, aryl- $NR^{10}-$, 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring is optionally substituted with one or more R^{17} ; C_{3-10} cycloalkyl, oxycycloalkyl or thiocycloalkyl; C_{4-10} cycloalkenyl with the proviso that the double bond cannot be adjacent to a nitrogen; H with the proviso that if X is an alkylene, an alkenylene or an alkynylene, then X comprises at least 5 carbon atoms;

- R^5 is independently selected from the group consisting of hydrogen; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; halogen; OH ; CN ; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^9$; $C(=S)R^9$; SH ; aryl; aryloxy; arylthio; arylalkyl; C_{1-18} hydroxyalkyl; C_{3-10} cycloalkyl; C_{3-10} cycloalkyloxy; C_{3-10} cycloalkylthio; C_{3-10} cycloalkenyl; C_{3-10} cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;

- each R^6 and R^{17} is independently selected from the group consisting of hydrogen; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; C_{3-10} cycloalkyl; C_{3-10} cycloalkenyl or C_{3-10} cycloalkynyl; halogen; OH ; CN ; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^{18}$; $C(=S)R^{18}$; SH ; aryl; aryloxy; arylthio; arylalkyl; arylalkyloxy (optionally a oxybenzyl); arylalkylthio (optionally a benzylthio); 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; C_{1-18} hydroxyalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl, arylalkyloxy (optionally a oxybenzyl), arylalkylthio (optionally a benzylthio), 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring, C_{1-18} hydroxyalkyl is optionally substituted with 1 or more R^{19} ;

7

- each R^7 and R^8 is independently selected from the group consisting of H; C_{1-18} alkyl; C_{1-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; 5-6 membered heterocyclic ring; $C(=O)R^{12}$; $C(=S)R^{12}$; an amino acid residue linked through a carboxyl group thereof; alternatively, R^7 and R^8 , together with the nitrogen to which they are attached, combine to form a 5-6 membered heterocyclic ring;

- each R^9 and R^{18} is independently selected from the group consisting of H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; C_{1-18} alkoxy; $NR^{15}R^{16}$; aryl an amino acid residue linked through an amino group thereof;

- each R^{10} and R^{11} is independently selected from the group the group consisting of H; C_{1-18} alkyl; C_{1-18} alkenyl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; aryl; $C(=O)R^{12}$; 5-6 membered heterocyclin ring; an amino acid residue linked through a carboxyl group thereof;

- R^{12} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; an amino acid residue linked through an amino group thereof;

- each R^{13} and R^{14} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$; $C(=S)R^{12}$; an amino acid residue linked through a carboxyl group thereof;

each R^{15} and R^{16} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; an amino acid residue linked through a carboxyl group thereof.

- R^{19} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy, preferably C_{1-6} alkoxy; C_{1-18} alkylthio; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; C_{4-10} cycloalkynyl; halogen; OH; CN; NO_2 ; $NR^{20}R^{21}$; OCF_3 ; haloalkyl; $C(=O)R^{22}$; $C(=S)R^{22}$; SH; $C(=O)N(C_{1-6} \text{ alkyl})$, $N(H)S(O)(O)(C_{1-6} \text{ alkyl})$; aryl; aryloxy; arylthio; arylalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl substituted with 1 or more halogens, particularly a phenyl substituted with 1-2 halogens; hydroxyalkyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring each unsubstituted or substituted with 1 or more halogens;

-each R^{20} and R^{21} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$; $C(=S)R^{12}$;

R^{22} is independently selected from H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{1-18} alkoxy;

7

$\text{NR}^{23}\text{R}^{24}$; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl;

each R^{23} and R^{24} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{2-3} alkyl, wherein C_{2-3} alkyl taken together with N of R^{22} can form a saturated heterocycle, which heterocycle is optionally substituted with OH or aryl or an amino acid residue;

each R^{25} or R^{26} , are absent or selected from the group consisting of H, C_{1-18} alkyl, preferably C_{1-4} alkyl; C_{3-10} cycloalkyl, such as C_{5-10} bicycloalkyl; C_{3-10} cycloalkenyl; (C_{3-8} cycloalkyl)- C_{1-3} alkyl; aryl, such as phenyl; 5 or 6 membered heterocyclic ring, such as pyridyl; alkylaryl, such as benzyl; and each of said C_{1-18} alkyl, preferably C_{1-4} alkyl, C_{3-10} cycloalkyl, C_{3-10} cycloalkenyl, (C_{3-8} cycloalkyl)- C_{1-3} alkyl, C_{5-10} bicycloalkyl, adamantyl, phenyl, pyridyl and benzyl is optionally substituted with 1-4 of each of C_{1-6} alkyl, C_{1-6} alkoxy, halo, CH_2OH , oxybenzyl, and OH; and heterocyclic ring having 3 to 7 carbon atoms, preferably a saturated heterocyclic ring wherein the heteroatoms are S, S(O), or S(O)₂ separated from the imidazopyridyl ring nitrogen atom by at least 2 heterocyclic ring carbon atoms. Provided that either R^{25} or R^{26} is hydrogen. Typically R^{25} or R^{26} is cyclopentyl or cyclohexyl; provided that if the compound comprises R^{25} or R^{26} , either R^2 or R^4 is selected from (=O), (=S), and (=NR²⁷); and R^{27} is selected from the group consisting of H, C_{1-18} alkyl, C_{3-10} cycloalkyl, (C_{3-10} cycloalkyl)- C_{1-6} alkyl; aryl; arylalkyl, such as benzyl;

with the proviso that:

-the substituents X, Y, R^1 , R^2 , R^3 , R^4 , R^5 are not a cephalosporin or wherein the substituents X, Y, R^1 , R^2 , R^3 , R^4 , R^5 are not an azabicyclo group, more particularly not 5-thia-1-aza-bicyclo[4.2.0]oct-2-en-8-one;

-the compound is not 5-(2-piperidin-1-yl-ethyl)-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-5-ium bromide;

-the compound is not 4-[5-(2-{4-[Bis-(4-fluorophenyl)-methyl]-piperazin-1-yl}-ethyl)-5H-imidazo[4,5-c]pyridin-2-yl]phenol;

-the compound is not 4-[5-(3-{4-[Bis-(4-fluorophenyl)-methyl]-piperazin-1-yl}-propyl)-5H-imidazo[4,5-c]pyridin-2-yl]phenol;

-the compound is not 2,6-bis(1,1-dimethylethyl)-4-[[2-(5H-imidazo-[4,5-c]pyridin-5-yl)ethyl]thio]-phenol hydrate and/or 2,6-bis(1,1-dimethylethyl)-4-[[2-(5H-imidazo-[4,5-c]pyridin-5-yl)propyl]thio]-phenol hydrate

-the compound is not a compound wherein XR^3 has the structure $-(\text{CH}_2)_n\text{-Y'-CO-N}(\text{R}_1')(\text{R}_2')$ wherein R_1' and R_2' are each independently selected from hydrogen; straight

or branched chain alkyl of 1 to 15 carbon atoms; cycloalkyl having 3 to 8 carbon atoms; substituted cycloalkyl which can be substituted one or more by alkyl of 1 to 6 carbon atoms; bicycloalkyl having 3 to 8 carbon atoms in each ring; heterocyclicalkyl having 4 to 8 carbon atoms which can be optionally substituted by alkyl of 1 to 6 carbon atoms; heteroaromatic having 5 or 6 carbon atoms which can be optionally substituted by alkyl having 1 to 6 carbon atoms; phenyl; substituted phenyl which can be substituted one or more by a group independently selected from alkyl having 1 to 6 carbon atoms or halogen; straight or branched alkenyl having 3 to 15 carbon atoms with the proviso that the double bond of the alkenyl group cannot be adjacent to the nitrogen; cycloalkenyl having 5 to 8 carbon atoms with the proviso that the double bond cannot be adjacent to the nitrogen; and R₁' and R₂' cannot both be hydrogen; Y' is phenyl or phenyl substituted once or more than at one or more of the 2, 3, 5 or 6 positions of the phenyl ring by substituents independently selected from the group consisting of alkoxy having 1 to 6 carbon atoms; halogen wherein the halogen is selected from bromo, fluoro, or chloro; straight or branched chain alkyl having 1 to 6 carbon atoms; substituted straight or branched chain alkyl which can be substituted one or more by halogen; thioalkyl wherein the alkyl has 1 to 6 carbon atoms; alkoxyalkyl wherein the alkyl groups are each 1 to 6 carbon atoms; hydroxyalkyl wherein the alkyl has 1 to 6 carbon atoms; alkylthioalkyl wherein the alkyl groups are each 1 to 6 carbon atoms; cyano; mercaptoalkyl wherein the alkyl has 1 to 6 carbon atoms; hydroxy; amino; alkylamino wherein the alkyl group has 1 to 6 carbon atoms; and dialkylamino wherein the alkyl groups are each 1 to 6 carbon atoms; n is an integer of 1 to 5

-the compound is not 5-[2-(Biphenyl-4-yloxy)-ethyl]-5H-imidazo[4,5-c]pyridine;

-the compound is not 5-[2-(4-Phenoxy-phenoxy)-ethyl]-5H-imidazo[4,5-c]pyridine;

-the compound is not [5-(4-Fluorobenzyl)-5H-imidazo[4,5-c]pyridin-2-yl]-methylamine;

-the compound is not 2,6-bis(1,1-dimethylethyl)-4-[[3-(5H-imidazo[4,5-c]pyridin-5-yl)propyl]thio]-phenol hydrate;

-the compound is not 5-[2-(4-Phenylmethyloxy-phenoxy)-ethyl]-5H-imidazo[4,5-c]pyridine;

-the compound is not 5-[3-(4-Phenoxy-phenoxy)-propyl]-5H-imidazo[4,5-c]pyridine

-the compound is not 5-{2-[4-(4-Fluorophenoxy)-phenoxy]-ethyl}-5H-imidazo[4,5-c]pyridine;

-the compound is not 5-[3-(4-Phenylmethyl-phenoxy)-propyl]-5H-imidazo[4,5-

10

c]pyridine;

the compound is not ((5-[4-(Fluorophenyl)methyl]-5H-imidazo[4,5-c]-pyridine-2-yl)methyl)-carbamaat, methyl ester;

the compound is not 5-(4-Chlorophenylmethyl)-2-(piperidin-1-ylmethyl)-5H-imidazo[4,5-c]pyridine and its dihydrochloride salt;

the compound is not 5-(4-Chlorophenylmethyl)-2-(4-methyl-piperazin-1-ylmethyl)-5H-imidazo[4,5-c]pyridine;

the compound is not 5-[5-(5-azabenzimidazolyl)methyl]-1-(4-cyanobenzyl)imidazole;

the compound is not 5-(5-benzyl-2,3-dihydro-benzofuran-2-ylmethyl)-5H-imidazo[4,5-c]pyridine;

the compound is not 5-[2-[4-(phenylmethyl) phenoxy]ethyl] -5H-imidazo[4,5-c]-pyridine hydrate;

the compound is not 5-[2-[4-(phenylmethoxy) phenoxy]ethyl]-5H-imidazo[4,5-c]-pyridine;

the compound is not 5-[2-[4-(phenoxyphenoxy)ethyl]-5H-imidazo[4,5-c]-pyridine;

the compound is not 5-[3-[4-(phenoxyphenoxy)propyl]-5H-imidazo[4,5-c]-pyridine;

the compound is not 5-[2-[4-(4-fluorophenoxy)phenoxy]ethyl]-5H-imidazo[4,5-c]-pyridine;

the compound is not 5-[3-[4(phenylmethyl)phenoxy]propyl]-5H-imidazo[4,5-c]-pyridine;

the compound is not 2,6-bis(1,1,-dimethylethyl)-4-[[3-(5H-imidazo-[4,5-c]pyridin-5-yl)propyl]thio]-phenol hydrate;

the compound is not 2,6-bis(1,1,-dimethylethyl)-4-[[2-(5H-imidazo-[4,5-c]pyridin-5-yl)ethyl]thio]-phenol hydrate;

the compound is not 2,6-bis(1,1,-dimethylethyl)-4-[[4-(5H-imidazo-[4,5-c]pyridin-5-yl)buthyl]thio]-phenol hydrate;

the compound is not (\pm) 2,6-bis(1,1,-dimethylethyl)-4-[[2-hydroxy-3]-(5h-imidazo-[4,5-c]pyridin-5-yl)buthyl]thio]-phenol hydrate;

8. The compound according to claim 7, wherein:

R^1 is selected from hydrogen; aryl unsubstituted or substituted with one or more R^6 , heterocyclic ring unsubstituted or substituted with one or more R^6 , C_{3-10} cycloalkyl unsubstituted or substituted with one or more R^6 and C_{4-10} cycloalkenyl unsubstituted or substituted with one or more R^6 ;

10

Y is selected from the group consisting of a single bond, O; S(O)_m; NR¹¹; and a divalent, saturated or unsaturated, substituted or unsubstituted C₁-C₁₀ hydrocarbon group optionally including one or more heteroatoms in the main chain, said heteroatoms being selected from the groups consisting of O, S, and N; such as C₁₋₆ alkylene, C₂₋₆ alkenylene, C₂₋₆ alkynylene, -O(CH₂)₁₋₅-, -(CH₂)₁₋₄-O-(CH₂)₁₋₄-, -S-(CH₂)₁₋₅-, -(CH₂)₁₋₄-S-(CH₂)₁₋₄-, -NR¹¹-(CH₂)₁₋₅-, -(CH₂)₁₋₄-NR¹¹-(CH₂)₁₋₄- and C₃₋₁₀ cycloalkylidene;

each R² and R⁴ is independently selected from the group consisting of hydrogen C₁₋₁₈ alkyl; C₂₋₁₈ alkenyl; C₂₋₁₈ alkynyl; C₁₋₁₈ alkoxy; C₁₋₁₈ alkylthio; halogen; OH; CN; NO₂; NR⁷R⁸; OCF₃; haloalkyl; C(=O)R⁹; C(=S)R⁹; SH; aryl; aryloxy; arylthio; arylalkyl; C₁₋₁₈ hydroxyalkyl; C₃₋₁₀ cycloalkyl; C₃₋₁₀ cycloalkyloxy; C₃₋₁₀ cycloalkylthio; C₃₋₁₀ cycloalkenyl; C₃₋₁₀ cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;

X is selected from the group consisting of a divalent, saturated or unsaturated, substituted or unsubstituted C₁-C₁₀ hydrocarbon group optionally including one or more heteroatoms in the main chain (provided that the heteroatom is not linked to N of the nucleus), said heteroatoms being selected from the group consisting of O, S, and N; such as C₁₋₆ alkylene, (for example -CH₂-, -CH(CH₃)-, -CH₂-CH₂-, -CH₂-CH₂-CH₂-, -CH₂-CH₂-CH₂-CH₂-, -(CH₂)₂₋₄-O-(CH₂)₂₋₄-, -(CH₂)₂₋₄-S-(CH₂)₂₋₄-, -(CH₂)₂₋₄-NR¹⁰-(CH₂)₂₋₄-, C₃₋₁₀ cycloalkylidene, C₂₋₆ alkenylene (such as -CH=CH-CH₂-), C₂₋₆ alkynylene;

-m is any integer from 0 to 2;

R³ is selected from the group consisting of aryl; aryloxy; arylthio; aryl-NR¹⁰-; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;; and each of said aryl, aryloxy, arylthio, aryl-NR¹⁰-, 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring is optionally substituted with one or more R¹⁷; C₃₋₁₀ cycloalkyl, oxycycloalkyl or thiocycloalkyl; C₄₋₁₀ cycloalkenyl with the proviso that the double bond cannot be adjacent to a nitrogen; H with the proviso that if X is an alkylene, an alkenylene or an alkynylene, then X comprises at least 5 carbon atoms;

R⁵ is independently selected from the group consisting of hydrogen; C₁₋₁₈ alkyl; C₂₋₁₈ alkenyl; C₂₋₁₈ alkynyl; C₁₋₁₈ alkoxy; C₁₋₁₈ alkylthio; halogen; OH; CN; NO₂; NR⁷R⁸; OCF₃; haloalkyl; C(=O)R⁹; C(=S)R⁹; SH; aryl; aryloxy; arylthio; arylalkyl; C₁₋₁₈ hydroxyalkyl; C₃₋₁₀ cycloalkyl; C₃₋₁₀ cycloalkyloxy; C₃₋₁₀ cycloalkylthio; C₃₋₁₀ cycloalkenyl; C₃₋₁₀ cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;

each R⁶ and R¹⁷ is independently selected from the group consisting of hydrogen; C₁₋₁₈ alkyl; C₂₋₁₈ alkenyl; C₂₋₁₈ alkynyl; C₁₋₁₈ alkoxy; C₁₋₁₈ alkylthio; C₃₋₁₀ cycloalkyl; C₃₋₁₀

12

cycloalkenyl or C₃₋₁₀ cycloalkynyl; halogen; OH; CN; NO₂; NR⁷R⁸; OCF₃; haloalkyl; C(=O)R⁹; C(=S)R⁹; SH; aryl; aryloxy; arylthio; arylalkyl; arylalkyloxy (optionally a oxybenzyl); arylalkylthio (optionally a benzylthio); 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; C₁₋₁₈ hydroxyalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl, arylalkyloxy (optionally a oxybenzyl), arylalkylthio (optionally a benzylthio), 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring, C₁₋₁₈ hydroxyalkyl is optionally substituted with 1 or more R¹⁹;

each R⁷ and R⁸ is independently selected from the group consisting of H; C₁₋₁₈ alkyl; C₁₋₁₈ alkenyl; aryl; C₃₋₁₀ cycloalkyl; C₄₋₁₀ cycloalkenyl; 5-6 membered heterocyclic ring; C(=O)R¹²; C(=S)R¹²; an amino acid residue linked through a carboxyl group thereof; alternatively, R⁷ and R⁸, together with the nitrogen to which they are attached, combine to form a 5-6 membered heterocyclic ring;

each R⁹ and R¹⁸ is independently selected from the group consisting of H; OH; C₁₋₁₈ alkyl; C₂₋₁₈ alkenyl; C₃₋₁₀ cycloalkyl; C₄₋₁₀ cycloalkenyl; C₁₋₁₈ alkoxy; NR¹⁵R¹⁶; aryl an amino acid residue linked through an amino group thereof;

each R¹⁰ and R¹¹ is independently selected from the group the group consisting of H; C₁₋₁₈ alkyl; C₁₋₁₈ alkenyl; C₃₋₁₀ cycloalkyl; C₄₋₁₀ cycloalkenyl; aryl; C(=O)R¹²; 5-6 membered heterocyclin ring; an amino acid residue linked through a carboxyl group thereof;

R¹² is independently selected from the group consisting of H; C₁₋₁₈ alkyl; C₂₋₁₈ alkenyl; aryl; C₃₋₁₀ cycloalkyl; C₄₋₁₀ cycloalkenyl; an amino acid residue linked through an amino group thereof;

each R¹³ and R¹⁴ is independently selected from the group consisting of H; C₁₋₁₈ alkyl; C₂₋₁₈ alkenyl; aryl; C₃₋₁₀ cycloalkyl; C₄₋₁₀ cycloalkenyl; C(=O)R¹²; C(=S)R¹²; an amino acid residue linked through a carboxyl group thereof;

each R¹⁵ and R¹⁶ is independently selected from the group consisting of H; C₁₋₁₈ alkyl; C₂₋₁₈ alkenyl; C₂₋₁₈ alkynyl; aryl; C₃₋₁₀ cycloalkyl; C₄₋₁₀ cycloalkenyl; an amino acid residue linked through a carboxyl group thereof;

R¹⁹ is independently selected from the group consisting of H; C₁₋₁₈ alkyl, preferably C₁₋₆ alkyl; C₂₋₁₈ alkenyl; C₂₋₁₈ alkynyl; C₁₋₁₈ alkoxy, preferably C₁₋₆ alkoxy; C₁₋₁₈ alkylthio; C₃₋₁₀ cycloalkyl; C₄₋₁₀ cycloalkenyl; C₄₋₁₀ cycloalkynyl; halogen; OH; CN; NO₂; NR²⁰R²¹; OCF₃; haloalkyl; C(=O)R²²; C(=S)R²²; SH; C(=O)N(C₁₋₆ alkyl), N(H)S(O)(O)(C₁₋₆ alkyl); aryl; aryloxy; arylthio; arylalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl substituted with 1 or more halogens, particularly a phenyl substituted with 1-2 halogens; hydroxyalkyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring each

12

13

unsubstituted or substituted with 1 or more halogens;

each R^{20} and R^{21} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$, $C(=S)R^{12}$;

5 R^{22} is independently selected from H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{1-18} alkoxy; $NR^{23}R^{24}$; aryl; C_{3-10} cycloalkyl; ; C_{4-10} cycloalkenyl;

each R^{23} and R^{24} is independently selected from the group the group consisting of H; C_{1-18} alkyl, preferably C_{2-3} alkyl, wherein C_{2-3} alkyl taken together with N of R^{22} can form a saturated heterocycle, which heterocycle is optionally substituted with OH or aryl or an

10 amino acid residue;

R^{25} and R^{26} are hydrogen.

9. The compound according to claim 7 or 8 wherein YR^1 is not hydrogen, an unsubstituted C_{3-10} cycloalkyl, or a C_{1-6} alkyl.

15

10. The compounds according to any one of claims 7 to 9, wherein YR^1 is not phenyl para substituted with OH.

11. The compounds according to any of claims 7 to 10 wherein YR^1 is fluorophenyl.

20

12. The compound according to any one of claims 7 to 10, wherein R^1 is a naphthenyl.

13. The compound according to any one of claims 7 to 12, wherein R^3 is selected from an aryl unsubstituted or substituted with 1-3 R^6 , wherein at least one R^6 is a halogen or a C_{1-6} alkyl

25

14. The compound according to claim 7, wherein either R^2 or R^4 is O and either R^{25} or R^{26} is cyclopentyl or cyclohexyl.

15. The compound according to claim 7, selected from the group consisting of:

30 2-(2,6-Difluorophenyl)-5-[(2,6-difluorophenyl)methyl]-5H-imidazo[4,5-c]pyridine

5-Benzyl-2-(2,6-difluorophenyl)-5H-imidazo[4,5-c]pyridine

5-[(2,6-Difluorophenyl)methyl]-2-phenyl-5H-imidazo[4,5-c]pyridine

5-Benzyl-2-phenyl-5H-imidazo[4,5-c]pyridine

2-Phenyl-5-(3-phenylpropyl)-5H-imidazo[4,5-c]pyridine

35 5-[(2-Chlorophenyl)methyl]-2-phenyl-5H-imidazo[4,5-c]pyridine

5-[(3-Chlorophenyl)methyl]-2-phenyl-5H-imidazo[4,5-c]pyridine

5-[(4-Chlorophenyl)methyl]-2-phenyl-5H-imidazo[4,5-c]pyridine

13

AMENDED SHEET

- 5-[(2-Methoxyphenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(3-Methoxyphenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Methoxyphenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Methylphenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(2-Fluorophenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(3-Fluorophenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Fluorophenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(2-Methylphenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(3-Methylphenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 4-[(2-Phenyl-5*H*-imidazo[4,5-*c*]pyridin-5-yl)methyl]-benzonitrile
 2-Phenyl-5-[[4-(trifluoromethyl)phenyl]methyl]-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Chlorophenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine hydrochloride
 5-[(5-Chloro-2-thienyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-(2-Naphthalenylmethyl)-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 2-Phenyl-5-(4-phenylbutyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(1,1'-Biphenyl)-4-ylmethyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 2-Phenyl-5-(1-phenylethyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-(1-Naphthalenylmethyl)-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 2-(2,6-Difluorophenyl)-5-[(2,4-difluorophenyl)methyl]-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(2-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(2-chlorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(3-chlorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(4-chlorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(2-pyridinyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(2-thienyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(1-naphthalenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(2-naphthalenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Iodophenyl)methyl]-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(3-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(3-methylphenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(3-methoxyphenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-(3-bromophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Chlorophenyl)methyl]-2-(3-bromophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Chlorophenyl)methyl]-2-(3-chlorophenyl)-5*H*-imidazo[4,5-*c*]pyridine;
 5-(2-Phenoxy-ethyl)-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 5-(3-Phenyl-prop-2-en-1-yl)-2-phenyl-5*H*-imidazo[4,5-*c*]pyridine
 2-(3-Bromophenyl)-5-[(4-iodophenyl)methyl]-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-[(phenylthio)methyl]-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Bromophenyl)methyl]-2-[3-(trifluoromethyl)phenyl]-5*H*-imidazo[4,5-*c*]pyridine
 5-[(1,1'-Biphenyl)-4-ylmethyl]-2-(2-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 5-[(4-Chlorophenyl)methyl]-2-(2-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine
 2-(2-Fluorophenyl)-5-[(4-iodophenyl)methyl]-5*H*-imidazo[4,5-*c*]pyridine
 5-[[4-(1,1-Dimethylethyl)phenyl]methyl]-2-(2-fluorophenyl)-5*H*-imidazo[4,5-*c*]pyridine

16. A composition for separate, combined or sequential use in the treatment or prophylaxis of anti-viral infections, comprising:

a) one or more compounds according to claim 7, and,

15

b) one or more compounds effective in the treatment or prophylaxis of viral infections, including Flaviviral or Picornaviral enzyme inhibitors, in proportions such as to provide a synergistic effect in the said treatment or prophylaxis.

5 17. The composition according to claim 16, wherein said one or more compounds effective in the treatment or prophylaxis of viral infections are interferon alpha or ribavirin.

18. The use of the compounds of any one of claims 7 to 15 for the preparation of a medicament for the treatment of viral infections.

10

19. A method for preparing the compounds of claim 7 comprising essentially the steps of

a) reacting a (substituted) 3,4-diaminopyridine (A) is reacted with B (Y-R¹) to give imidazo[4,5-c]pyridines (C);

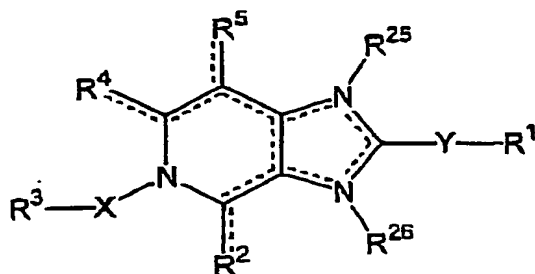
15 b) introducing further substituents (R², R⁴ and/or R⁵ ≠ H) either a) by cyclization of an appropriately substituted 3,4-diaminopyridine (A) or b)) by introduction of the substituent(s) onto the imidazo[4,5-c]pyridine (C);

c) reacting the imidazo[4,5-c]pyridines (C) with an alkylating agent (D) (R³-X-R⁶) in an appropriate solvent under addition of a base at ambient temperature;

20 imidazopyridine I (Z = O, S or NR);

d) introduction of a further substituent (R²⁵ or R²⁶) at position 1 or 3 of the imidazo[4,5-c]pyridine.

25 20. A method for preventing or treating a viral infections in a subject or patient by administering to the patient in need thereof a therapeutically effective amount of one or more imidazo[4,5-c]pyridine derivatives according to formula (Z):



(Z)

wherein:

15

- the dotted lines represent an optional double bond, provided that no two double bonds are adjacent to one another, and that the dotted lines represent at least 3, optionally 4 double bonds;
- R^1 is selected from hydrogen; aryl unsubstituted or substituted with one or more R^6 ,
 5 heterocyclic ring unsubstituted or substituted with one or more R^6 , C_{3-10} cycloalkyl unsubstituted or substituted with one or more R^6 and C_{4-10} cycloalkenyl unsubstituted or substituted with one or more R^6 ;
- Y is selected from the group consisting of a single bond, O; $S(O)_m$; NR^{11} ; and a divalent, saturated or unsaturated, substituted or unsubstituted C_1-C_{10} hydrocarbon group
 10 optionally including one or more heteroatoms in the main chain, said heteroatoms being selected from the groups consisting of O, S, and N; such as C_{1-6} alkylene, C_{2-6} alkenylene, C_{2-6} alkynylene, $-O(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-O-(CH_2)_{1-4}-$, $-S-(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-S-(CH_2)_{1-4}-$, $-NR^{11}-(CH_2)_{1-5}-$, $-(CH_2)_{1-4}-NR^{11}-(CH_2)_{1-4}-$ and C_{3-10} cycloalkylidene;
- each R^2 and R^4 is independently selected from the group consisting of hydrogen C_{1-18}
 15 alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; halogen; OH; CN; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^9$; $C(=S)R^9$; SH; aryl; aryloxy; arylthio; arylalkyl; C_{1-18} hydroxyalkyl; C_{3-10} cycloalkyl; C_{3-10} cycloalkyloxy; C_{3-10} cycloalkylthio; C_{3-10} cycloalkenyl; C_{3-10} cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; or, when one of R^{25} or R^{26} is different from hydrogen, either R^2 or
 20 R^4 is selected from $(=O)$, $(=S)$, and $(=NR^{27})$;
- X is selected from the group consisting of a divalent, saturated or unsaturated, substituted or unsubstituted C_1-C_{10} hydrocarbon group optionally including one or more heteroatoms in the main chain (provided that the heteroatom is not linked to N of the nucleus), said heteroatoms being selected from the group consisting of O, S, and N; such as C_{1-6}
 25 alkylene, (for example $-CH_2-$, $-CH(CH_3)-$, $-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-$, $-(CH_2)_{2-4}-O-(CH_2)_{2-4}-$, $-(CH_2)_{2-4}-S-(CH_2)_{2-4}-$, $-(CH_2)_{2-4}-NR^{10}-(CH_2)_{2-4}-$, C_{3-10} cycloalkylidene, C_{2-6} alkenylene (such as $-CH=CH-CH_2-$), C_{2-6} alkynylene;
- m is any integer from 0 to 2;
- R^3 is selected from the group consisting of aryl; aryloxy; arylthio; aryl- $NR^{10}-$; 5 or 6
 30 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; and each of said aryl, aryloxy, arylthio, aryl- $NR^{10}-$, 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring is optionally substituted with one or more R^{17} ; C_{3-10} cycloalkyl, oxycycloalkyl or thiocycloalkyl; C_{4-10} cycloalkenyl with the proviso that the double bond

cannot be adjacent to a nitrogen; H with the proviso that if X is an alkylene, an alkenylene or an alkynylene, then X comprises at least 5 carbon atoms;

- R^5 is independently selected from the group consisting of hydrogen; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; halogen; OH; CN; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^9$; $C(=S)R^9$; SH; aryl; aryloxy; arylthio; arylalkyl; C_{1-18} hydroxyalkyl; C_{3-10} cycloalkyl; C_{3-10} cycloalkyloxy; C_{3-10} cycloalkylthio; C_{3-10} cycloalkenyl; C_{3-10} cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;

- each R^6 and R^{17} is independently selected from the group consisting of hydrogen; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; C_{3-10} cycloalkyl; C_{3-10} cycloalkenyl or C_{3-10} cycloalkynyl; halogen; OH; CN; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^{18}$; $C(=S)R^{18}$; SH; aryl; aryloxy; arylthio; arylalkyl; arylalkyloxy (optionally a oxybenzyl); arylalkylthio (optionally a benzylthio); 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; C_{1-18} hydroxyalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl, arylalkyloxy (optionally a oxybenzyl), arylalkylthio (optionally a benzylthio), 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring, C_{1-18} hydroxyalkyl is optionally substituted with 1 or more R^{19} ;

- each R^7 and R^8 is independently selected from the group consisting of H; C_{1-18} alkyl; C_{1-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; 5-6 membered heterocyclic ring; $C(=O)R^{12}$; $C(=S)R^{12}$; an amino acid residue linked through a carboxyl group thereof; alternatively, R^7 and R^8 , together with the nitrogen to which they are attached, combine to form a 5-6 membered heterocyclic ring;

- each R^9 and R^{18} is independently selected from the group consisting of H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; C_{1-18} alkoxy; $NR^{15}R^{16}$; aryl an amino acid residue linked through an amino group thereof;

- each R^{10} and R^{11} is independently selected from the group the group consisting of H; C_{1-18} alkyl; C_{1-18} alkenyl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; aryl; $C(=O)R^{12}$; 5-6 membered heterocyclic ring; an amino acid residue linked through a carboxyl group thereof;

- R^{12} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; an amino acid residue linked through an amino group thereof;

- each R^{13} and R^{14} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$; $C(=S)R^{12}$; an amino acid residue linked through a carboxyl group thereof;

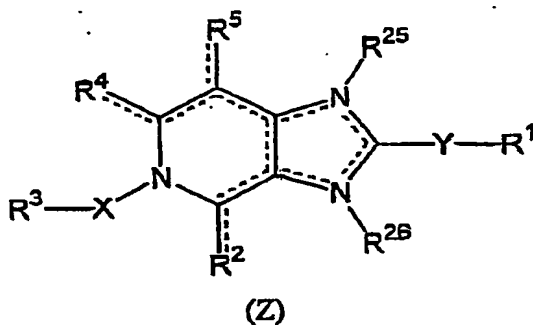
- each R^{15} and R^{16} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; an amino acid residue linked through a carboxyl group thereof;
- R^{19} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy, preferably C_{1-6} alkoxy; C_{1-18} arylthio; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; C_{4-10} cycloalkynyl; halogen; OH; CN; NO_2 ; $NR^{20}R^{21}$; OCF_3 ; haloalkyl; $C(=O)R^{22}$; $C(=S)R^{22}$; SH; $C(=O)N(C_{1-6} \text{ alkyl})$, $N(H)S(O)(O)(C_{1-6} \text{ alkyl})$; aryl; aryloxy; arylthio; arylalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl substituted with 1 or more halogens, particularly a phenyl substituted with 1-2 halogens; hydroxyalkyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring each unsubstituted or substituted with 1 or more halogens;
- each R^{20} and R^{21} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$, $C(=S)R^{12}$;
- R^{22} is independently selected from H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{1-18} alkoxy; $NR^{23}R^{24}$; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl;
- each R^{23} and R^{24} is independently selected from the group the group consisting of H; C_{1-18} alkyl, preferably C_{2-3} alkyl, wherein C_{2-3} alkyl taken together with N of R^{22} can form a saturated heterocycle, which heterocycle is optionally substituted with OH or aryl or an amino acid residue;
- each R^{25} or R^{26} are absent or selected from the group consisting of of H, C_{1-18} alkyl, preferably C_{1-4} alkyl; C_{3-10} cycloalkyl, such as C_{5-10} bicycloalkyl; C_{3-10} cycloalkenyl; $(C_{3-8} \text{ cycloalkyl})-C_{1-3} \text{ alkyl}$; aryl, such as phenyl; 5 or 6 membered heterocyclic ring, such as pyridyl; alkylaryl, such as benzyl; and each of said C_{1-18} alkyl, preferably C_{1-4} alkyl, C_{3-10} cycloalkyl, C_{3-10} cycloalkenyl, $(C_{3-8} \text{ cycloalkyl})-C_{1-3} \text{ alkyl}$, C_{5-10} bicycloalkyl, adamantyl, phenyl, pyridyl and benzyl is optionally substituted with 1-4 of each of C_{1-6} alkyl, C_{1-6} alkoxy, halo, CH_2OH , oxybenzyl, and OH; and heterocyclic ring having 3 to 7 carbon atoms, preferably a saturated heterocyclic ring wherein the heteroatoms are S, S(O), or S(O)₂ separated from the imidazopyridyl ring nitrogen atom by at least 2 heterocyclic ring carbon atoms. Provided that either R^{25} or R^{26} is hydrogen. Typically R^{25} or R^{26} is cyclopentyl or cyclohexyl; provided that if the compound is substituted at R^{25} or R^{26} , either R^2 or R^4 is selected from $(=O)$, $(=S)$, and $(=NR^{27})$; and
- R^{27} is selected from the group consisting of H, C_{1-18} alkyl, C_{3-10} cycloalkyl, $(C_{3-10} \text{ cycloalkyl})-C_{1-6} \text{ alkyl}$; aryl; arylalkyl, such as benzyl;

19

as an active ingredient, optionally in a mixture with at least a pharmaceutically acceptable carrier.

21. A method of screening antiviral compounds which comprises

- 5 a) providing a compounds of the formula (Z)



wherein:

- 10 - the dotted lines represent an optional double bond, provided that no two double bonds are adjacent to one another, and that the dotted lines represent at least 3, optionally 4 double bonds;
- R¹ is selected from hydrogen; aryl unsubstituted or substituted with one or more R⁶, heterocyclic ring unsubstituted or substituted with one or more R⁶, C₃₋₁₀ cycloalkyl unsubstituted or substituted with one or more R⁶ and C₄₋₁₀ cycloalkenyl unsubstituted or substituted with one or more R⁶;
- 15 - Y is selected from the group consisting of a single bond, O; S(O)_m; NR¹¹; and a divalent, saturated or unsaturated, substituted or unsubstituted C₁-C₁₀ hydrocarbon group optionally including one or more heteroatoms in the main chain, said heteroatoms being selected from the groups consisting of O, S, and N; such as C₁₋₆ alkylene, C₂₋₆ alkenylene, C₂₋₆ alkynylene, -O(CH₂)₁₋₅-, -(CH₂)₁₋₄-O-(CH₂)₁₋₄-, -S-(CH₂)₁₋₅-, -(CH₂)₁₋₄-S-(CH₂)₁₋₄-, -NR¹¹-(CH₂)₁₋₅-, -(CH₂)₁₋₄-NR¹¹-(CH₂)₁₋₄- and C₃₋₁₀ cycloalkylidene;
- 20 - each R² and R⁴ is independently selected from the group consisting of hydrogen C₁₋₁₈ alkyl; C₂₋₁₈ alkenyl; C₂₋₁₈ alkynyl; C₁₋₁₈ alkoxy; C₁₋₁₈ alkylthio; halogen; OH; CN; NO₂; NR⁷R⁸; OCF₃; haloalkyl; C(=O)R⁹; C(=S)R⁹; SH; aryl; aryloxy; arylthio; arylalkyl; C₁₋₁₈ hydroxyalkyl; C₃₋₁₀ cycloalkyl; C₃₋₁₀ cycloalkyloxy; C₃₋₁₀ cycloalkylthio; C₃₋₁₀ cycloalkenyl; C₃₋₁₀ cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; or, when one of R²⁵ or R²⁶ is different from hydrogen, either R² or R⁴ is selected from (=O), (=S), and (=NR²⁷);
- 25

19

- X is selected from the group consisting of a divalent, saturated or unsaturated, substituted or unsubstituted C_{1-10} hydrocarbon group optionally including one or more heteroatoms in the main chain (provided that the heteroatom is not linked to N of the nucleus), said heteroatoms being selected from the group consisting of O, S, and N; such as C_{1-6} alkylene, (for example $-CH_2-$, $-CH(CH_3)-$, $-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-$, $-(CH_2)_{2-4}-O-(CH_2)_{2-4}-$, $-(CH_2)_{2-4}-S-(CH_2)_{2-4}-$, $-(CH_2)_{2-4}-NR^{10}-(CH_2)_{2-4}-$, C_{3-10} cycloalkylidene, C_{2-6} alkenylene (such as $-CH=CH-CH_2-$), C_{2-6} alkynylene;
- m is any integer from 0 to 2;
- R^3 is selected from the group consisting of aryl; aryloxy; arylthio; aryl- NR^{10} ; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;; and each of said aryl, aryloxy, arylthio, aryl- NR^{10} , 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring is optionally substituted with one or more R^{17} ; C_{3-10} cycloalkyl, oxycycloalkyl or thiocycloalkyl; C_{4-10} cycloalkenyl with the proviso that the double bond cannot be adjacent to a nitrogen; H with the proviso that if X is an alkylene, an alkenylene or an alkynylene, then X comprises at least 5 carbon atoms;
- R^5 is independently selected from the group consisting of hydrogen; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; halogen; OH; CN; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^9$; $C(=S)R^9$; SH; aryl; aryloxy; arylthio; arylalkyl; C_{1-18} hydroxyalkyl; C_{3-10} cycloalkyl; C_{3-10} cycloalkyloxy; C_{3-10} cycloalkylthio C_{3-10} cycloalkenyl; C_{3-10} cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring;
- each R^6 and R^{17} is independently selected from the group consisting of hydrogen; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy; C_{1-18} alkylthio; C_{3-10} cycloalkyl, C_{3-10} cycloalkenyl or C_{3-10} cycloalkynyl; halogen; OH; CN; NO_2 ; NR^7R^8 ; OCF_3 ; haloalkyl; $C(=O)R^{18}$; $C(=S)R^{18}$; SH; aryl; aryloxy; arylthio; arylalkyl; arylalkyloxy (optionally a oxybenzyl); arylalkylthio (optionally a benzylthio); 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; C_{1-18} hydroxyalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl, arylalkyloxy (optionally a oxybenzyl), arylalkylthio (optionally a benzylthio), 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring, C_{1-18} hydroxyalkyl is optionally substituted with 1 or more R^{19} ;
- each R^7 and R^8 is independently selected from the group consisting of H; C_{1-18} alkyl; C_{1-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; 5-6 membered heterocyclic ring; $C(=O)R^{12}$; $C(=S)R^{12}$; an amino acid residue linked through a carboxyl group thereof;

21

alternatively, R^7 and R^8 , together with the nitrogen to which they are attached, combine to form a 5-6 membered heterocyclic ring;

- each R^9 and R^{18} is independently selected from the group consisting of H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; C_{1-18} alkoxy; $NR^{15}R^{16}$; aryl an amino acid residue linked through an amino group thereof;
- each R^{10} and R^{11} is independently selected from the group the group consisting of H; C_{1-18} alkyl; C_{1-18} alkenyl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; aryl; $C(=O)R^{12}$; 5-6 membered heterocyclin ring; an amino acid residue linked through a carboxyl group thereof;
- R^{12} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; an amino acid residue linked through an amino group thereof;
- each R^{13} and R^{14} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$; $C(=S)R^{12}$; an amino acid residue linked through a carboxyl group thereof;
- each R^{15} and R^{16} is independently selected from the group consisting of H; C_{1-18} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; an amino acid residue linked through a carboxyl group thereof;
- R^{19} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; C_{1-18} alkoxy, preferably C_{1-6} alkoxy; C_{1-18} alkylthio; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; C_{4-10} cycloalkynyl; halogen; OH; CN; NO_2 ; $NR^{20}R^{21}$; OCF_3 ; haloalkyl; $C(=O)R^{22}$; $C(=S)R^{22}$; SH; $C(=O)N(C_{1-6} \text{ alkyl})$; $N(H)S(O)(O)(C_{1-6} \text{ alkyl})$; aryl; aryloxy; arylthio; arylalkyl; and each of said aryl, aryloxy, arylthio, arylalkyl substituted with 1 or more halogens, particularly a phenyl substituted with 1-2 halogens; hydroxyalkyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring each unsubstituted or substituted with 1 or more halogens;
- each R^{20} and R^{21} is independently selected from the group consisting of H; C_{1-18} alkyl, preferably C_{1-6} alkyl; C_{2-18} alkenyl; C_{2-18} alkynyl; aryl; C_{3-10} cycloalkyl; C_{4-10} cycloalkenyl; $C(=O)R^{12}$; $C(=S)R^{12}$;
- R^{22} is independently selected from H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{1-18} alkoxy; $NR^{23}R^{24}$; aryl; C_{3-10} cycloalkyl; ; C_{4-10} cycloalkenyl;
- Each R^{23} and R^{24} is independently selected from the group the group consisting of H; C_{1-18} alkyl, preferably C_{2-3} alkyl, wherein C_{2-3} alkyl taken together with N of R^{22} can form a saturated heterocycle, which heterocycle is optionally substituted with OH or aryl or an amino acid residue;

21

22

- each R^{25} or R^{26} are absent or selected from the group consisting of of H, C_{1-18} alkyl, preferably C_{1-4} alkyl; C_{3-10} cycloalkyl, such as C_{5-10} bicycloalkyl; C_{3-10} cycloalkenyl; (C_{3-8} cycloalkyl)- C_{1-3} alkyl;; aryl, such as phenyl; 5 or 6 membered heterocyclic ring, such as pyridyl; alkylaryl, such as benzyl; and each of said C_{1-18} alkyl, preferably C_{1-4} alkyl, C_{3-10} cycloalkyl, C_{3-10} cycloalkenyl, (C_{3-8} cycloalkyl)- C_{1-3} alkyl, C_{5-10} bicycloalkyl, adamantyl, phenyl, pyridyl and benzyl is optionally substituted with 1-4 of each of C_{1-6} alkyl, C_{1-6} alkoxy, halo, CH_2OH , oxybenzyl, and OH; and heterocyclic ring having 3 to 7 carbon atoms, preferably a saturated heterocyclic ring wherein the heteroatoms are S, S(O), or S(O)₂ separated from the imidazopyridyl ring nitrogen atom by at least 2 heterocyclic ring carbon atoms. Provided that either R^{25} or R^{26} is hydrogen. Typically R^{25} or R^{26} is cyclopentyl or cyclohexyl; provided that if the compound is substituted at R^{25} or R^{26} , either R^2 or R^4 is selected from (=O), (=S), and (=NR²⁷); and
- R^{27} is selected from the group consisting of H, C_{1-18} alkyl, C_{3-10} cycloalkyl, (C_{3-10} cycloalkyl)- C_{1-4} alkyl; aryl; arylalkyl, such as benzyl;

and

b) determining the anti-viral activity of said compound.

22. The method of claim 21, wherein said anti-viral activity is determined by the activity of said compound against one or more viruses belonging to the family of the Flaviviridae and/or of the Picornaviridae.

3 A

US Patents 4,914,108, 4,990,518, 4,988,707, 5,227,384, 5,302,601 and 5,486,525 describe 5-substituted [4,5-c]imidazopyridine derivatives useful in the treatment of diseases or disorders mediated by platelet-activating factor. The compounds were found to inhibit ³H-PAF binding to human platelets.

- 5 EP 1132381 describes esters of 2,2-dimethylpropionic acid comprising a benzimidazole structure having an inhibitory activity of elastase.

WO 96/1192 describes compounds of the general formula Ar1-Q-Ar2-Y-R-Z, wherein Z is optionally a [4,5-c]imidazopyridine which are proposed as LTA4 hydrolase inhibitors useful for the treatment of inflammatory diseases mediated by LTB₄ production.

- 10 WO 96/12703 describes heteroarylthioalkyl thiophenolic compounds having 5-lipoxygenase inhibitory activity which are suggested to be useful in the treatment of 5-lipoxygenase mediated conditions.

- Chemical Abstracts acc no. 1987:18435 and Chemical Abstracts acc no. 1983:594812 describe the synthesis of two imidazo[4,5-b] and of imidazo[4,5c]pyridine derivatives
15 substituted with piperazinyl and furanyl groups.

- EP 1162196 describes fused ring compounds for the use as therapeutic agents for hepatitis C. The fused 5 and 6 membered ring is made up of optionally substituted carbon atoms or nitrogen atoms and optionally one oxygen, sulfur atom or substituted nitrogen atom on the 5
20 membered ring. WO 95/02597 describes imidazo[4,5c]pyridine derivatives not substituted at the N5 with antiviral activity

GB2158440 describes 4,5,6,7-tetrahydroimidazo[4,5-c]pyridine derivatives with antiviral activities.

- 25 STN database accession 110:165603 & Khimiko-Farmatsevtichsskii Zhurnal, 23:1, (1989), 26-59, describe spinaceamine derivatives such as 5H-imidazo [4,5-c]pyridine-5-ethanol, 1,4,6,7-tetrahydro-alpha-(4methoxyphenyl)-1,2-dimethyl compounds with antiviral activity (small pox virus).

- STN database accession 132:222537 and HU78019 describe N-alkylated azoles with
30 antibacterial activity.

WO9927929 describes [4.3.0] nitrogen containing ring systems and homologous compounds which are proposed as farnesyl-protein transferase inhibitors applicable in the treatment of
cancer.

WO9611192 describes 5-substituted imidazo(4,5)pyridine compounds and related molecules for use as anti-inflammatory compounds by inhibiting leukotriene A4 hydrolase.

EP344414 describes 5-Substitued imidazo[4,5-c]pyridines for the treatment of diseases such as inflammation, cardiovascular disorders and asthma .

WO9516687 describes imidazopyridine indoles which act as platelet activating factor antagonists:

J. Comb. Chem. (2002) 4:5, 475-483 describes the synthesis of benzimidazole compound for use in small organic libraries.

In view of their important pharmacological value, there is a need for drugs having antiviral activity, optionally selective activity against viruses belonging to the family of Flaviviridae including hepatitis C virus, and against viruses belonging to the family of Picornaviridae.

SUMMARY OF THE INVENTION

In the present invention, new selective anti-viral compounds are being provided. The compounds are imidazo[4,5-c]pyridine derivatives and it has been shown that they possess a broad anti-viral activity. Members of the Flaviviridae and of the Picornaviridae families are being inhibited. The present invention demonstrates that the compounds inhibit the replication of BVDV, HCV and Cocksackie virus. Furthermore, the anti-BVDV activity of the compounds is based on the inhibition of the viral polymerase enzyme of BVDV. Therefore, these

13A

- the compound is not 5-(5-benzyl-2,3-dihydro-benzofuran-2-ylmethyl)-5H-imidazo[4,5-c]pyridine (as disclosed in WO96/11192);
- the compound is not 5-[2-[4-(phenylmethyl) phenoxy]ethyl]-5H-imidazo[4,5-c]-pyridine hydrate (as disclosed in WO96/11192);
- 5 - the compound is not 5-[2-[4-(phenylmethoxy) phenoxy]ethyl]-5H-imidazo[4,5-c]-pyridine (as disclosed in WO96/11192);
- the compound is not 5-[2-[4-(phenoxyphenoxy)ethyl]-5H-imidazo[4,5-c]-pyridine (as disclosed in WO96/11192);
- the compound is not 5-[3-[4-(phenoxyphenoxy)propyl]-5H-imidazo[4,5-c]-pyridine (as disclosed in WO96/11192);
- 10 - the compound is not 5-[2-[4-(4-fluorophenoxy)phenoxy]ethyl]-5H-imidazo[4,5-c]-pyridine (as disclosed in WO96/11192);
- the compound is not 5-[3-[4(phenylmethyl)phenoxy]propyl]-5H-imidazo[4,5-c]-pyridine (as disclosed in WO96/11192);
- 15 - The compound is not [5-(4-Fluorobenzyl)-5H-imidazo[4,5-c]pyridin-2-yl]-methylamine (X=CH₂, Y=NR¹¹, wherein R¹¹=methyl, R¹=R²=H, R³=phenyl substituted with 1 R¹⁷ in para, wherein R⁶ is F, R⁴=H, R⁵=H) (as disclosed in EP76530);
- The compound is not 2,6-bis(1,1,-dimethylethyl)-4-[[3-(5H-imidazo-[4,5-c]pyridin-5-yl)propyl]thio]-phenol hydrate (X=CH₂-CH₂-CH₂, Y=bond; R¹= hydrogen, R²=H, R³=thiophenyl substituted with 3 R⁶, wherein R⁶=2 branched C₄ alkyl in meta and OH in para) (as disclosed in WO96/12703);
- 20 - The compound is not 2,6-bis(1,1,-dimethylethyl)-4-[[2-(5H-imidazo-[4,5-c]pyridin-5-yl)ethyl]thio]-phenol hydrate (X=S-CH₂-CH₂, Y=bond; R¹= hydrogen, R²=H, R³=thiophenyl substituted with 3 R⁶, wherein R⁶=2 branched C₄ alkyl in meta and OH in para) (as disclosed in WO96/12703);
- 25 - The compound is not 2,6-bis(1,1,-dimethylethyl)-4-[[4-(5H-imidazo-[4,5-c]pyridin-5-yl)buthyl]thio]-phenol hydrate (X=S-CH₂-CH₂-CH₂, Y=bond; R¹= hydrogen, R²=H, R³=thiophenyl substituted with 3 R⁶, wherein R⁶=2 branched C₄ alkyl in meta and OH in para) (as disclosed in WO96/12703);
- 30 - The compound is not (±) 2,6-bis(1,1,-dimethylethyl)-4-[[2-hydroxy-3]-(5H-imidazo-[4,5-c]pyridin-5-yl)buthyl]thio]-phenol hydrate (X=S-CH₂-CHOH-CH₂, Y=bond; R¹= hydrogen, R²=H, R³=thiophenyl substituted with 3 R⁶, wherein R⁶=2 branched C₄ alkyl in meta and OH in para) (as disclosed in WO96/12703);

13 B

- The compound is not 5-[2-(4-Phenylmethoxy-phenoxy)-ethyl]-5H-imidazo[4,5-c]pyridine ($X=CH_2CH_2$, $Y=bond$, $R^1=hydrogen$, $R^2=H$, $R^3=phenoxy$ substituted with 1 R^{17} in para, wherein $R^{17}=benzyl\ oxy$) (as disclosed in WO96/11192);
- The compound is not 5-[3-(4-Phenoxy-phenoxy)-propyl]-5H-imidazo[4,5-c]pyridine
5 ($X=CH_2CH_2CH_2$, $Y=bond$, $R^1=hydrogen$, $R^2=H$, $R^3=phenoxy$ substituted with 1 R^6 in para, wherein $R^6=phenoxy$ substituted in para with F; $R^4=H$) (as disclosed in WO96/11192);
- The compound is not 5-{2-[4-(4-Fluorophenoxy)-phenoxy]-ethyl}-5H-imidazo[4,5-c]pyridine
10 ($X=CH_2CH_2$, $Y=bond$, $R^1=hydrogen$, $R^2=H$, $R^3=phenoxy$ substituted with 1 R^6 in para, wherein $R^6=phenoxy$, substituted in para with F; $R^4=H$) (as disclosed in WO96/11192);
- The compound is not 5-[3-(4-Phenylmethyl-phenoxy)-propyl]-5H-imidazo[4,5-c]pyridine
($X=CH_2CH_2CH_2$, $Y=bond$, $R^1=hydrogen$, $R^2=H$, $R^3=phenoxy$ substituted with 1 R^6 in para, wherein $R^6=benzyl$; $R^4=H$) (as disclosed in WO96/11192);
- The compound is not (1H-Indol-3-yl)-[3-(2-methyl-5H-imidazo[4,5-c]pyridine-5-carbonyl)-phenyl]-methanone ($X=-(C=O)-$ or SO_2 , $Y=CH_2$, $R^1=H$, $R^2=H$, $R^3=phenyl$ substituted with 1 R^6 , wherein R^6 is $C(=O)R^{18}$, wherein R^{18} is indole) (as disclosed in US
15 5,486,525);
- the compound is not 4 or 3-[(2-methyl-5H-imidazo[4,5-c]pyridin-5-yl)methyl]-benzoic acid alkylester or 5-[4 or 3-(alkoxycarbonyl-phenyl)-methyl]-2-methyl-5H-imidazo[4,5-c]pyridine, in particular 4 or 3-[(2-methyl-5H-imidazo[4,5-c]pyridin-5-yl)methyl]-methyl
20 ester ($X=CH_2$, $Y=CH_2$, $R^1=H$, $R^2=H$, $R^3=phenyl$ substituted at the para or meta position with 1 R^{17} , wherein R^{17} is $(C=O)R^{18}$, wherein $R^{18}=alkoxy$) (as disclosed in US 5,486,525)
- the compound is not 5-[(fluorophenyl)methyl]-2-amino-5-H-imidazo[4,5-c]-pyridine ($XR^3=fluorobenzyl$, $Y=NR^{11}$ with $R^{11}=methyl$, $R^1=H$, R^2 , R^3 , $R^4=H$) (as disclosed in US
25 5,137,896);

14A

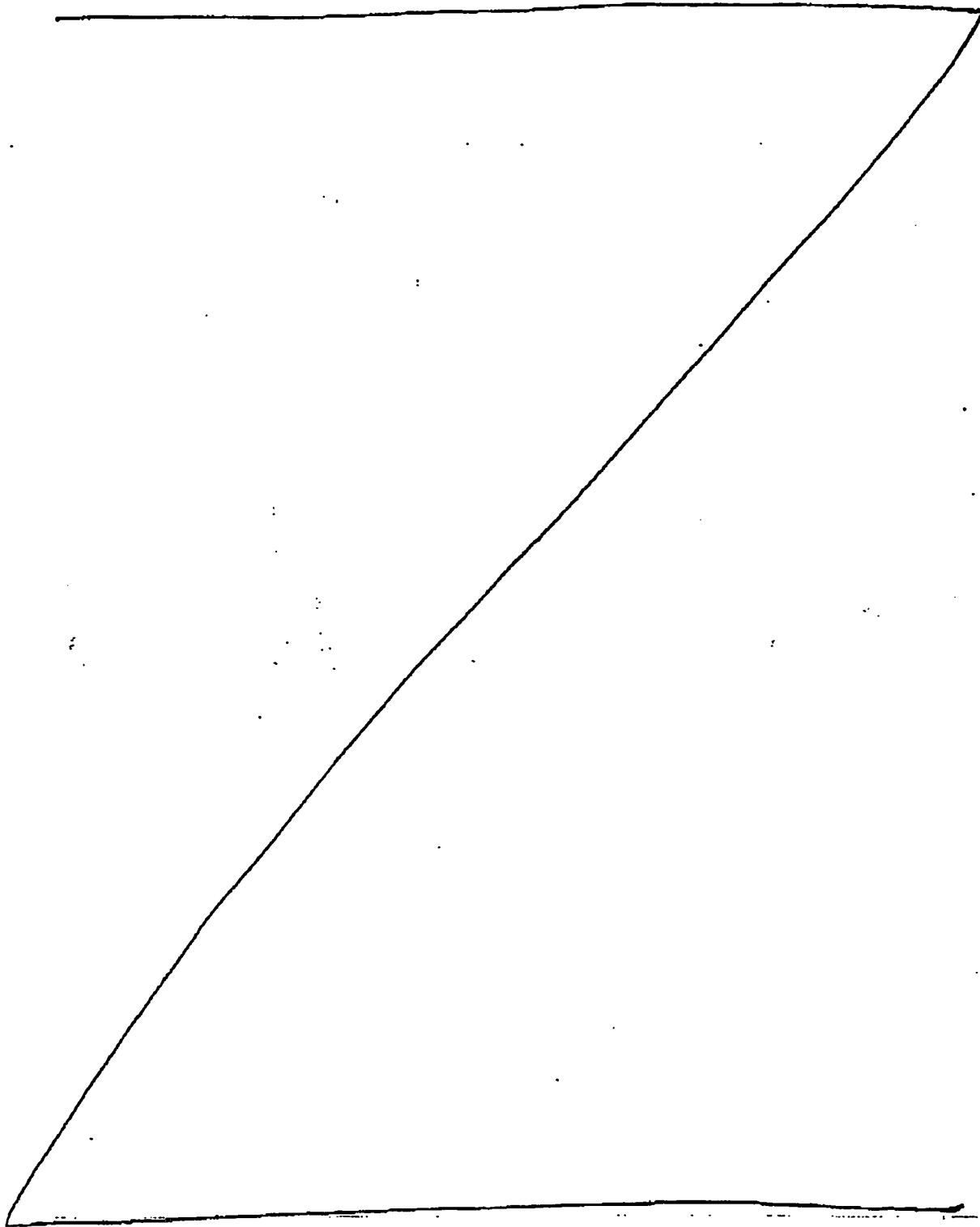
- the compound is not ((5-[4-(Fluorophenyl)methyl]-5-H-imidazo[4,5-c]-pyridine-2-yl)methyl)-carbamaat, methyl ester (XR^3 = fluorobenzyl, $Y = C(=O)R_{12}$ with R_{12} = methyl, $R^1 = H$, $R^2, R^3, R^4 = H$) (as disclosed in US 5,137,896);
- the compound is not 5-(4-Chlorophenylmethyl)-2-(piperidin-1-ylmethyl)-5H-imidazo[4,5-c]pyridine and its dihydrochloride salt (XR^3 = chlorobenzyl, $Y = -CH_2-$, R^1 = piperidinyl) (as disclosed in Justus Liebigs Annalen der Chemie (1971), 747, 158-171);
- the compound is not 5-(4-Chlorophenylmethyl)-2-(4-methyl-piperazin-1-ylmethyl)-5H-imidazo[4,5-c]pyridine (XR^3 = chlorobenzyl, $Y = -CH_2-$, R^1 = piperazinyl, R^6 = methyl) (as disclosed in Journal of the Chemical Society [section B]: Physical Organic (1966), 4, 285-291);
- the compound is not 5-[5-(5-azabenzimidazolyl)methyl]-1-(4-cyanobenzyl)imidazole (as disclosed in WO99/27929);

Particularly, the invention relates to a compound according to the general formula (Z) and/or A as described above wherein,

- if Y is a bond and R^1 is an aryl, this aryl is not phenyl para substituted with OH and optionally further substituted with methyl, methoxy, nitro, diethylamino, Cl, Br, or F; or, if Y is a bond and R^1 is an aryl para substituted with OH and optionally further substituted with methyl, methoxy, nitro, diethylamino, Cl, Br, or F, and X is an alkylene, R^3 is not a heterocyclic ring containing N;
- and/or
- if Y is a bond or $(CH_2)_{1-6}$, R^1 is H, X is CH_2 and R^3 is phenyl with $1R^{17}$, wherein R^{17} is $C(=O)R^{18}$, then R^{18} is selected from H; OH; C_{1-18} alkyl; C_{2-18} alkenyl; C_{1-18} alkoxy; $NR^{15}R^{16}$; aryl an amino acid residue linked through an amino group thereof; i.e. R^{18} is not a C_{3-10} cycloalkyl or C_{4-10} cycloalkenyl;
- and/or
- if Y is a bond or $(CH_2)_{1-6}$, then R^1 is an aryl unsubstituted or substituted with one or more R^6 , heterocyclic ring unsubstituted or substituted with one or more R^6 , C_{3-10} cycloalkyl unsubstituted or substituted with one or more R^6 and C_{4-10} cycloalkenyl unsubstituted or substituted with one or more R^6 ; i.e. YR^1 is not H or C_{1-6} alkyl;
- and/or
- if Y is a bond or $(CH_2)_{1-6}$, R^1 is H, and R^3 is a 5 membered heterocyclic ring with one R^{17} , wherein R^{17} is $C(=O)R^{18}$ and R^{18} is $NR^{15}R^{16}$, then R^{15} and R^{16} are not a C_{1-18} alkyl or a cycloalkyl; or

14B

if Y is a bond or $(CH_2)_{1-6}$, and R^1 is H, and R^3 is a 5 membered heterocyclic ring with one R^{17} , wherein R^{17} is $C(=O)R^{18}$ then R^{18} is selected from H; OH; C_{1-18} alkyl; C_{2-18}



17A

cycloalkynyl; 5 or 6 membered heterocyclic, oxyheterocyclic or thioheterocyclic ring; i.e.,
R⁵ is not an aryl, aryloxy or benzyl;

The compounds of the invention optionally exclude those compounds according to the general
5 formula (Z) and/or (A) as described above, wherein YR¹ is not hydrogen, an unsubstituted C₃-
10 cycloalkyl, or a C₁₋₆ alkyl.

The compounds of the invention optionally exclude those compounds according to the general
formula (Z) and/or (A) as described above, wherein Y R¹ is not phenyl para substituted with
10 OH.

The compounds of the invention optionally exclude those compounds according to the general
formula (Z) and/or (A) as described above, wherein R¹ is not H, Y is not NR¹¹ with R¹¹ C₁₋₆
15 alkyl or methyl, and/or YR¹ is not monomethylamino.

The compounds of the invention optionally exclude those compounds according to the general
formula (Z) and/or (A) as described above, wherein R¹ is a phenyl substituted with 1R₆, R₆ is
C(=O)R¹⁸ and R¹⁸ is t-butoxy.

20 The compounds of the invention optionally exclude those compounds according to the general
formula (Z) and/or (A) as described above, wherein R¹ is not piperidinyl and is not
piperazinyl substituted with methyl.

The compounds of this invention optionally exclude those in which XR³ is equivalent to the
25 substructure -(CH₂)_n-Y'-C(O)-N(R₁') (R₂') set forth on column 1, line 49 to column 2 line 38
of US patent 5,302,601 wherein R₁' and R₂' are each independently selected from hydrogen;
straight or branched chain alkyl of 1 to 15 carbon atoms; cycloalkyl having 3 to 8 carbon
atoms; substituted cycloalkyl which can be substituted one or more by alkyl of 1 to 6 carbon
atoms; bicycloalkyl having 3 to 8 carbon atoms in each ring; heterocyclicalkyl having 4 to 8
30 carbon atoms which can be optionally substituted by alkyl of 1 to 6 carbon atoms;
heteroaromatic having 5 or 6 carbon atoms which can be optionally substituted by alkyl
having 1 to 6 carbon atoms; phenyl; substituted phenyl which can be substituted one or more
by a group independently selected from alkyl having 1 to 6 carbon atoms or halogen; straight
or branched alkenyl having 3 to 15 carbon atoms with the proviso that the double bond of the

17B

alkenyl group cannot be adjacent to the nitrogen; cycloalkenyl having 5 to 8 carbon atoms with the proviso that the double bond cannot be adjacent to the nitrogen; and R_1' and R_2' cannot both be hydrogen; Y' is phenyl or phenyl substituted once or more than at one or more of the 2, 3, 5 or 6 positions of the phenyl ring by substituents independently selected from the group consisting of alkoxy having 1 to 6 carbon atoms; halogen wherein the halogen is selected from bromo, fluoro, or chloro; straight or branched chain alkyl having 1 to 6 carbon atoms; substituted straight or branched chain alkyl which can be substituted one or more by halogen; thioalkyl wherein the alkyl has 1 to 6 carbon atoms; alkoxyalkyl wherein the alkyl groups are each 1 to 6 carbon atoms; hydroxyalkyl wherein the alkyl has 1 to 6 carbon atoms; alkylthioalkyl wherein the alkyl groups are each 1 to 6 carbon atoms; cyano; mercaptoalkyl wherein the alkyl has 1 to 6 carbon atoms; hydroxy; amino; alkylamino wherein the alkyl group has 1 to 6 carbon atoms; and dialkylamino wherein the alkyl groups are each 1 to 6 carbon atoms; n is an integer of 1 to 5 and the comparable disclosure in any member of the patent family of US patent 5,302,601, which disclosure is herewith expressly incorporated by reference.

The compounds of this invention optionally exclude those in which R^5 contains any of the substituents designated as «Ar» in WO 00/39127 (incorporated expressly herein by reference), in particular aryl, aryl phenoxy, or benzyl.

Typically, the compounds of this invention do not include the compounds of example 35 of US patent 5,302,601, example 6 of US Patent 4,990,518, examples 1 to 5 of US 4,988,707,

18 A

examples 3 and/or 11 of WO 96/12703 and/or compounds 340A, 347C, 349C, 351C, 355C and/or 356 C of WO 96/11192 and/or their methylene homologues, the disclosure of which are herewith expressly incorporated by reference.

- 5 Optionally, the compounds of this invention also exclude all methylene homologues of known compounds which are excluded from the scope of this invention.

10 The compounds of this invention optionally exclude those in which XR3 is equivalent to the substructure $-(CH_2)_n-Het-C(O)-N(R_1)(R_2)$ set forth on column 1, line 41 to column 2 line 24 of US patent 4,990,518 and the comparable disclosure in any member of the patent family of US patent 4,990,518, which disclosure is herewith expressly incorporated by reference.

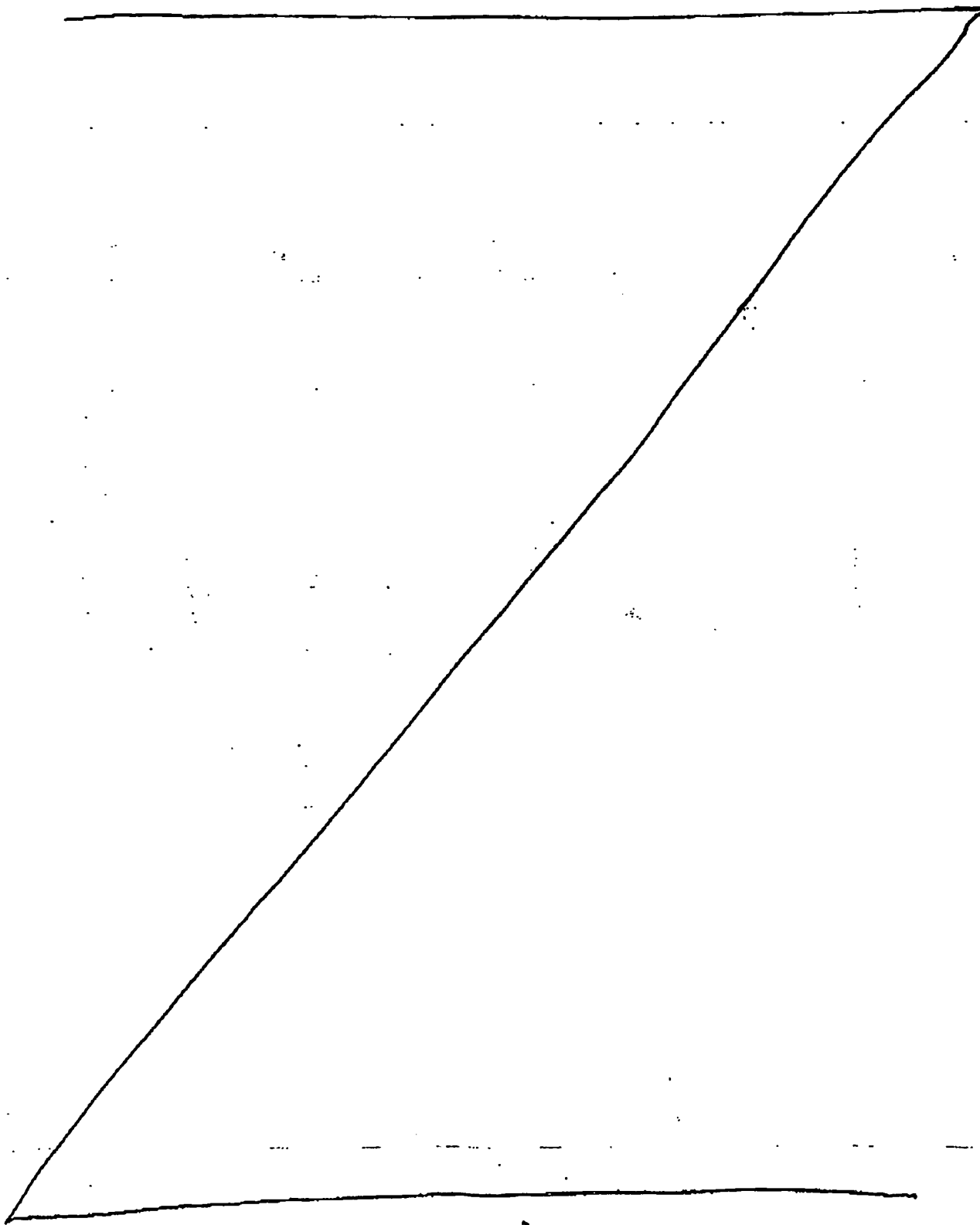
15 Typically the compounds of this invention do not include the compounds expressly disclosed in EP 76530, EP 1 162 196, EP 1132 381, US 5,486,525, US 5,137,896, US 5,227,384, US 4914108, US 5,302,601, US 5,208,242, US 4,990,518, US 4,988,707, DE 4211474, DE 4230464, WO 00/39127, WO 00/40586, WO 00/40583, WO 00/39127, WO 00/20416, WO99/27929, GB2158440, WO6111192, EP3444414, WO9516687, Chemical Abstracts acc
no.110:165603, Chemical Abstracts acc no. 132:222537 -and any family member thereof in
20 Chemical Abstracts acc no. 1987:18435 and Chemical Abstracts acc no. 1983:594812 and overlap with the compounds described in the present description, the disclosure of which is herewith expressly incorporated by reference.

25 Typically the compounds of this invention do not include the compounds expressly disclosed in EP 76530, EP 1 162 196, EP 1132 381, US 5,486,525, US 5,137,896, US 5,227,384, US 4914108, WO 00/39127, WO 00/40586, WO99/27929, GB2158440, WO6111192,
EP3444414, WO9516687, Chemical Abstracts acc no. 1987:18435, Chemical Abstracts acc
no.110:165603, Chemical Abstracts acc no. 132:222537 and Chemical Abstracts acc no.
30 1983:594812 and over which the claims of this application are not novel or do not possess an inventive step; the disclosure of these compounds is herewith expressly incorporated by reference.

Typically the compounds of this invention do not include the compounds expressly disclosed in Justus Liebig's Annalen der Chemie (1971), 747, 158-171 or in the Journal of the Chemical Society [section B]: Physical Organic (1966), 4, 285-291 and over which the claims of this

18 B

application are not novel or do not possess an inventive step; the disclosure of these compounds is herewith expressly incorporated by reference.



**This Page is Inserted by IFW Indexing and Scanning
Operations and is not part of the Official Record**

BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images include but are not limited to the items checked:

☐ BLACK BORDERS

☐ IMAGE CUT OFF AT TOP, BOTTOM OR SIDES

☒ FADED TEXT OR DRAWING

☒ BLURRED OR ILLEGIBLE TEXT OR DRAWING

☐ SKEWED/SLANTED IMAGES

☐ COLOR OR BLACK AND WHITE PHOTOGRAPHS

☐ GRAY SCALE DOCUMENTS

☒ LINES OR MARKS ON ORIGINAL DOCUMENT

☐ REFERENCE(S) OR EXHIBIT(S) SUBMITTED ARE POOR QUALITY

☐ OTHER: _____

IMAGES ARE BEST AVAILABLE COPY.

As rescanning these documents will not correct the image problems checked, please do not report these problems to the IFW Image Problem Mailbox.